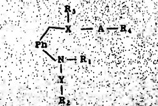
94-128800/16 B05 HITA/ 92.06.08
HITAKA H *JP 06072979-A
92.06.08 92JP-171521 *(94.03.15)* C07C 237/10, A61K 31/165, 31/18, 31/34, 31/38, C07C 311/29, 317/32, C07D 213/42, 215/36, 213/65, 333/20, 307/68, 307/52, 295/12, 213/38, C07C 311/31, 311/21, A61K 31/44, 31/47, 31/495

New amino-benzyl derivs. - useful as anti-ulcer drugs

C94-059353

Aminobenzyl cpds. of formula (I) are new:



(I)

R_1 = H; lower alkyl opt. substd. with OH, amino, dimethylamino, methoxycarbonylphenyl, guanidino, piperazino, pyridino or pyrrolidinyl;
R_2 = quinolyl; isoquinolyl; phenyl; or lower alkyl opt. substd. with nitro, methoxy, amino, hydroxy, halogen, or acetyl;
R_3 = H or methyl;
R_4 = phenyl or triazolyl both opt. substd. with methyl, methoxy, halogen or nitro; pyridino, furoyl, thienyl, furyl or lower alkyl;
X = N, S, piperazino or sulphinyl;
Y = sulphonyl or carbonyl;
A = methylene, ethyleneoxy, ethylenethio, ethylenesulphinyl or propenylene; and
Ph = phenylene opt. substd. with methoxy.

B(6-D2, 6-D3, 7-H, 10-A8, 10-A10, 10-A17, 10-B1B, 10-B2D, 10-B2F, 10-D3, 14-E8) E(6-D2, 6-D3, 7-A1, 7-B1, 7-D4C, 7-D11, 10-A4A, 10-A8A, 10-A8C, 10-A10A, 10-A17A, 10-B1A1, 10-B4A2, 10-D3D)
B0195

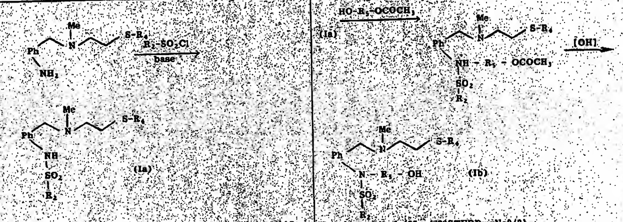
USE

(I) and their acid addition salts are antiulcer drugs (claimed).

PREPARATION

(I) is prepd. as follows:

J06072979-A+



R_5 = lower alkyl. (25ppW69STUBDwgNo0/0).

J06072979-A

94-128801/16 B05 C03 ASAG 92.08.26
ASAHI GLASS CO LTD *JP 06072980-A
92.08.26 92JP-250532 *(94.03.15)* C07C 255/50, B01J 31/02, C07C 253/30 // C07B 61/00

Prepn of 3,4-di:fluoro:benzonitrile, with by-prod mono:fluoro:benzonitrile controlled - comprises reacting 3-chloro-4-fluoro:benzonitrile with alkali metal fluoride

C94-059354

In a new prepn. of 3,4-difluorobenzonitrile, 3-chloro-4-fluorobenzonitrile is reacted with an alkali metal fluoride(s) without solvent in the presence of a catalyst comprising a quat. phosphonium or a pyridinium salt(s).

3-chloro-4-fluorobenzonitrile is pref. prepd. by reacting 3,4-dichlorobenzonitrile with an alkali metal fluoride(s) (claimed).

USE/ADVANTAGE - The method gives 3,4-difluorobenzonitrile in a yield of e.g. 55% while controlling effectively formation of the by-prod. monofluorobenzonitrile which is very difficult to separate. 3,4-Difluorobenzonitrile is useful as an intermediate for synthesis of drugs and agrochemicals.

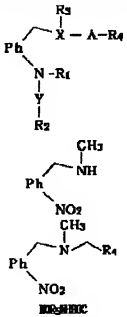
In an example, 3,4-dichlorobenzonitrile, spray-dried potassium fluoride and sufficiently dehydrated sulpholane were reacted at 180 deg.C with violent stirring for 8 hr. The reaction mixt. was filtered to remove inorganic matter and distd. to obtain 3-chloro-4-fluorobenzonitrile. The cpd., spray-dried potassium fluoride and tetraphenyl phosphonium bromide were then reacted at 245-250 deg.C with violent stirring for 10 hr. The reaction press. reached 5 kg/cm2. The reaction mixt. was distd. under reduced pressure in an autoclave to recover the prod. The distillate was analysed in gas chromatography. The distillate contained 3,4-difluorobenzonitrile in a yield of 54.8%, 3-chloro-4-fluorobenzonitrile with a recovery rate of 24.6% and less than 0.1 wt.% monofluorobenzonitrile. (4pp Dwg.No.0/0)

B(10-A5) C(10-A5) N(5-D, 5-E1).
B0196

JAPANESE (11)Publication number : 06-072979
(43)Date of publication of application : 15.03.1994

(21)Application number : 04-171521 (71)Applicant : HIDAKA HIROYOSHI
(22)Date of filing : 08.06.1992 (72)Inventor : HIDAKA HIROYOSHI
ISHIKAWA TOMOHIKO

(54) AMINOBENZYL DERIVATIVE



(57)Abstract:
PURPOSE: To obtain a new compound, excellent in suppressing effects on gastric acid secretion and inhibiting action on proton pumps and useful as an antiulcer agent with hardly any side effects.
CONSTITUTION: The objective compound of formula I [R1 is H or (substituted) lower alkyl; R2 is quinolyl, phenyl, etc.; R3 is H or methyl; R4 is triazolyl, pyridino, etc.; X is N, S, etc.; Y is sulfonyl or carbonyl; A is methylene, ethylenethio, etc.; Ph is unsubstituted or methoxy-substituted phenylene], e.g. 2-[N-(2-aminoethyl)-N-(5-isoquinolinesulfonyl)]-amino-N-(4-chlorobenzyl)-N-methyl- benzylamine. This compound is obtained by reacting, e.g. 2-nitrobenzaldehyde with methylamine, providing a compound of formula II, then reacting the resultant compound of formula II with a compound of the formula ClCH2R4, subsequently reducing the produced compound of formula III, successively reacting the prepared compound with a compound of the formula R2SO2Cl and a compound of formula IV (R5 is lower alkyl) and finally reacting the formed product with HCl.